STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 147333

TO: Deborah Lambkin **Location: REM-5C18** **Art Unit: 1626** **Wednesday, March 16, 2005** **Case Serial Number: 10/758791**	**From: Mary Hale** **Location: Biotech/Chem Library** **Rem 1D86** **Phone: 2-2507** **Mary.Hale@uspto.gov**

Search Notes

Feel free to contact me if you have any questions.

147333

Access DB# _____

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SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Deborah Lambkin Examiner #: 71300 Date: 3/9/05
Art Unit: 1626 Phone Number 30 2-0698 Serial Number: 10/758,791
Mail Box and Bldg/Room Location: REM 5B09 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

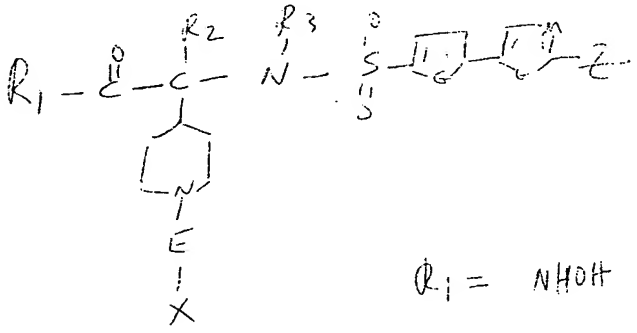
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Heterocyclic side chain containing -N-sub. sulfonamide.

Inventors (please provide full names): Stanislaus F. Kerekes et al

Earliest Priority Filing Date: _____

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



R_1 = NHOH

Cl. 1 attached.

Thank you

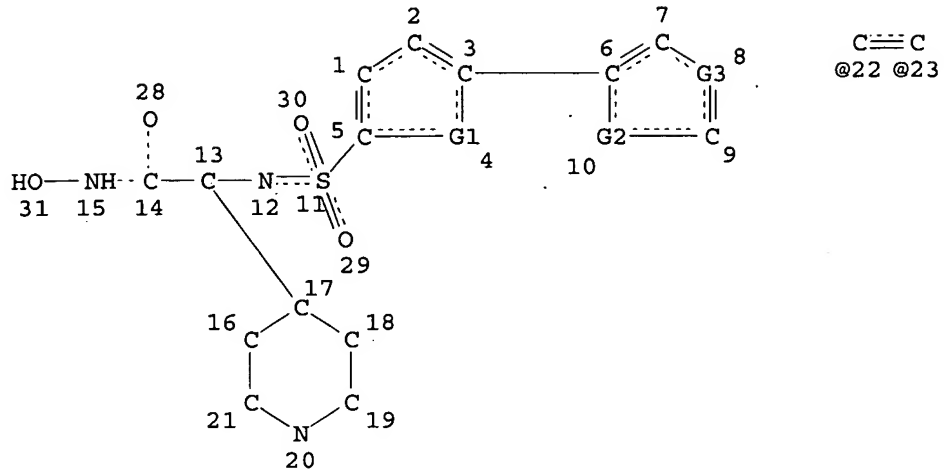
****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#)_____	STN _____
Searcher Phone #: _____	AA Sequence (#)_____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: _____	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify)_____

PTO-1590 (8-01)

Lambkin
10/758791

=> d l3 que stat;fil hcapl;s l3
L1 STR



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VAR G2=S/O/N/22-6 23-9/24-6 25-9/26-6 27-9
VAR G3=CH/N
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE
L3 21 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 24 ITERATIONS 21 ANSWERS
SEARCH TIME: 00.00.01

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	167.35	168.40

FILE 'HCAPLUS' ENTERED AT 13:32:56 ON 16 MAR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Searched by: Mary Hale 571-272-2507 REM 1D86

FILE COVERS 1907 - 16 Mar 2005 VOL 142 ISS 12
FILE LAST UPDATED: 15 Mar 2005 (20050315/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

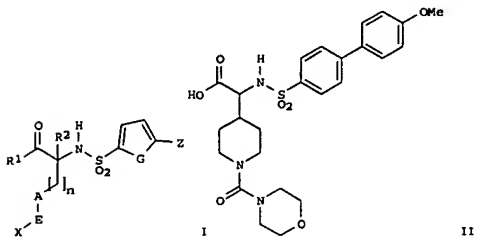
L4 6 L3

=> d 1-6 cbib abs hitstr

Searched by: Mary Hale 571-272-2507 REM 1D86

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
2003:717760 Document No. 139:245903 Preparation of [(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors. Pikul, Stanislaw; Ohler, Norman Eugene; Almstead, Neil Gregory; Laughlin, Steven Karl; Natchus, Michael George; De, Biswanath (USA). U.S. Pat. Appl. Publ. US 2003171400 A1 20030911, 33 pp., Cont.-in-part of Appl. PCT/US01/08783. (English). CODEN: USXXCO. APPLICATION: US 2002-246201 20020918. PRIORITY: US 2000-PV191303 20000321; WO 2001-US8783 20010320.

GI

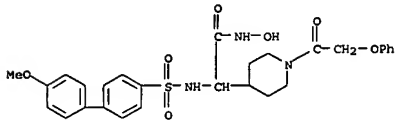


I II

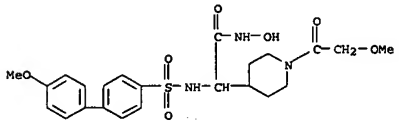
AB The title compds. [I; R1 = OH, NHOH; R2 = H, alkyl, haloalkyl, etc.; A = (un)substituted monocyclic heterocycloalkyl; A can be connected to R2 to form (un)substituted monocyclic heterocycloalkyl; n = 0-4; E = a bond, alkyl, CO, etc.; X = H, alkyl, aryl, etc.; G = S, O, N:N, etc.; Z = cycloalkyl, heterocycloalkyl, etc.] such as II which are inhibitors of metalloproteases and which are effective in treating conditions characterized by excess activity of these enzymes such as arthritis and cancer, were claimed and formulated (prepns. are given but no data are given for intermediates and final compds.).

IT **597582-84-8P**, 2-[[(4'-Bromobiphenyl-4-yl)sulfonyl]amino]-N-hydroxy-2-[1-[(morpholin-4-yl)carbonyl]piperidin-4-yl]acetamide **597582-85-9P**, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-(1-phenethylpiperidin-4-yl)acetamide **597582-86-0P**, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-[1-[(thiazol-2-yl)methyl]piperidin-4-yl]acetamide **597582-87-1P**, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-[1-(2-phenoxyacetyl)piperidin-4-yl]acetamide **597582-88-2P**, N-Hydroxy-2-[1-(2-methoxyacetyl)piperidin-4-yl]-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]acetamide **597582-89-3P**, N-Hydroxy-2-[[(4'-methoxybiphenyl-4-yl)sulfonyl]amino]-2-[1-(phenylmethylsulfonyl)piperidin-4-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of [(hetero)arylsulfonylamino]-[1-substituted-

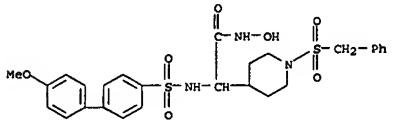
L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 597582-88-2 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-1-(methoxyacetyl)-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 597582-89-3 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

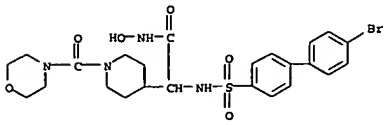


IT **362525-92-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors)

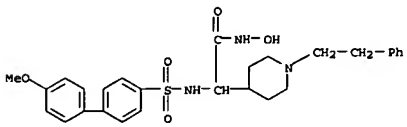
RN 362525-92-6 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
piperidin-4-yl]-acetic acids as metalloprotease inhibitors)

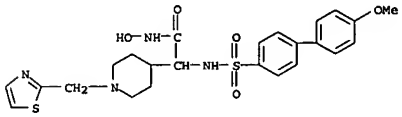
RN 597582-84-8 HCAPLUS
CN 4-Piperidineacetamide, α-[[(4'-bromo[1,1'-biphenyl]-4-yl)sulfonyl]amino]-N-hydroxy-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 597582-85-9 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

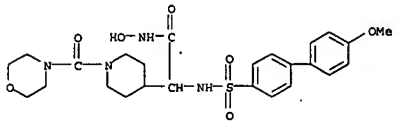


RN 597582-86-0 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)



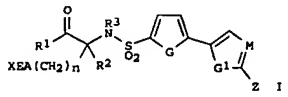
RN 597582-87-1 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(phenoxyacetyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
2003:570642 Document No. 139:117342 Preparation of biphenylsulfonamidoheterocyclylcarboxylates as metalloprotease inhibitors.
Pikul, Stanislaw; Ohler, Norman Eugene; Almstead, Neil Gregory; Laughlin, Steven Karl; Natchus, Michael George; De, Biswanath; Hershberger, Paul Mitchell (The Procter & Gamble Company, USA). U.S. Pat. Appl. Publ. US 2003139414 A1 20030724, 30 pp., Cont.-in-part of Appl. No. PCT/US01/08931.
(English). CODEN: USXXCO. APPLICATION: US 2002-243511 20020913.
PRIORITY: US 2000-PV191302 20000321; WO 2001-US8931 20010302.

GI

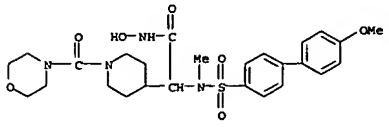


AB Title compds. [I; R1 = OH, NHOH; R2 = H, alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aralkyl, heteroaralkyl; R3 = alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, (hetero)cycloalkyl, aralkyl, heteroarylalkyl; A = (substituted) monocyclic heterocycloalkyl having 3-8 ring atoms of which 1-3 are heteroatoms; a, n = 0-4; E = bond, alkyl, CO, CO2, CONR4, SO2, CSNR4; R4 = H, alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, cycloalkyl, heterocycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; X = H, alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, (hetero)cycloalkyl; G = S, O, NR5, CR5:CR5', N:CR5, N:N; R5, R5' = H, alkyl, alkenyl, alkynyl, heteroalkyl, aryl, heteroaryl, (hetero)cycloalkyl; G1 = S, O, NR6, CR6:CR6', N:CR6, N:N; R6, R6' = H, alkyl, alkenyl, alkynyl, heteroalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl; M = CH, N; Z = (CR7R7')aLR8; R7, R7' = H, alkyl, alkenyl, alkynyl, aryl, heteroalkyl, heteroaryl, (hetero)cycloalkyl, halo, haloalkyl, OH, alkoxy; L = bond, O, SOb, CO, CONR9, NR9, NR9CO; b = 0-2; R9 = H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heteroalkyl, heteroaryl, (hetero)cycloalkyl, haloalkyl; AR2, XR4, R7R9, R8R9 = atoms to form a (substituted) heterocyclic ring containing 5-8 atoms of which 1-3 are heteroatoms; R8 = H, alkyl, alkenyl, alkynyl, halo, heteroalkyl, haloalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl], are claimed. No synthetic or biol. data is given.

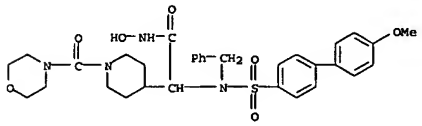
IT **362524-37-6P 564486-57-3P 564486-58-4P**
564486-59-5P 564486-60-8P 564486-61-9P
564486-62-0P 564486-63-1P 564486-64-2P
564486-65-3P 564486-66-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of biphenylsulfonamidoheterocyclylcarboxylates as metalloprotease inhibitors)

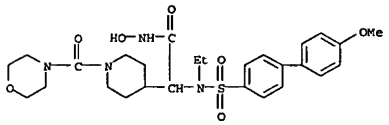
RN 362524-37-6 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 564486-57-3 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl](phenylmethyl)amino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

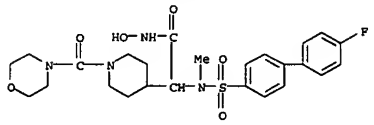


RN 564486-58-4 HCAPLUS
CN 4-Piperidineacetamide, α-[ethyl[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-N-hydroxy-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

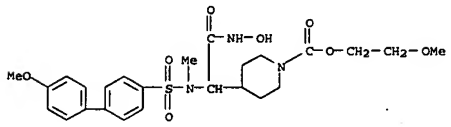


RN 564486-59-5 HCAPLUS
CN 4-Piperidineacetamide, α-[[(4'-fluoro[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-N-hydroxy-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

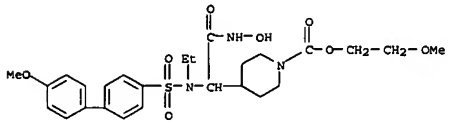
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 564486-60-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(hydroxyamino)-1-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

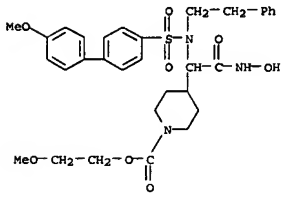


RN 564486-61-9 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[ethyl[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

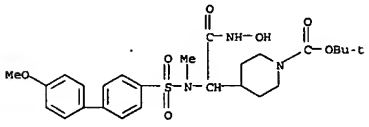


RN 564486-62-0 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(hydroxyamino)-1-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl](2-phenylethyl)amino]-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

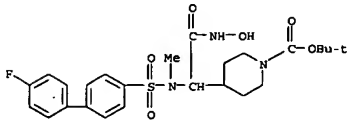
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 564486-63-1 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-(hydroxyamino)-1-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

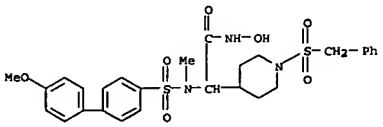


RN 564486-64-2 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[[(4'-fluoro[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-2-(hydroxyamino)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

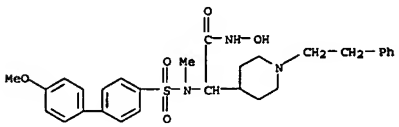


RN 564486-65-3 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

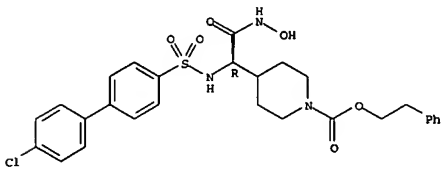


RN 564486-66-4 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



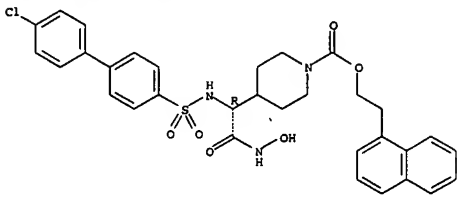
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as selective matrix-degrading metalloproteinase (MMP-13) inhibitors useful as antiinflammatories)
RN 458560-22-0 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1R)-1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

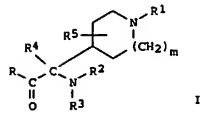


RN 458560-25-3 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1R)-1-[[(4'-chloro[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-(hydroxyamino)-2-oxoethyl]-, 2-(1-naphthalenyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
2002:716273 Document No. 137:332912 Preparation of azacycloalkyl- and arylsulfonylamino-substituted acetic acid derivatives as selective matrix-degrading metalloproteinase (MMP-13) inhibitors useful as antiinflammatories. Pujimoto, Roger Aki; McQuire, Leslie Wighton; Monovich, Lauren G.; Nantermet, Philippe; Parker, David Thomas; Robinson, Leslie Ann; Skiles, Jerry W.; Tommasi, Ruben Alberto (Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.). PCT Int. Appl. WO 2002072577 A2 20020919, 76 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-EP2808 20020313. PRIORITY: US 2001-PV275819 20010314; US 2001-PV278572 20010322.
GI



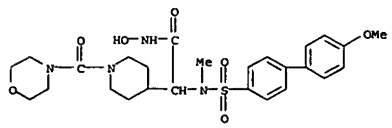
I

AB Compds. I (e.g. (αR)-1-BOC-α-[[[5-(4-trifluoromethylphenyl)-2-thienyl]sulfonyl]amino]-4-piperidineacetic acid) wherein R represents OH or NHOH; R1 represents H, optionally substituted lower alkyl, aryl-lower alkyl, cycloalkyl-lower alkyl, or acyl derived from a carboxylic acid, from a carbonic acid, from a carbamic acid of from a sulfonic acid; R2 represents biarylsulfonyl or aryloxyarylsulfonyl; R3 represents H, optionally substituted lower alkyl, aryl-lower alkyl, cycloalkyl-lower alkyl or acyl derived from a carboxylic acid, from a carbonic acid or from a carbamic acid; R4 and R5 represent independently H, lower alkyl, lower alkoxycarbonyl, aryl-lower alkyl or cycloalkyl-lower alkyl; m is 0-3; pharmaceutically acceptable prodrug derivs. thereof; pharmaceutically acceptable salts thereof; pharmaceutical compns. comprising said compds.; and their use for selectively inhibiting the matrix degrading metalloproteinase MMP-13 and preventing or treating matrix metalloproteinase dependent conditions in mammals. Typically, compds. of the invention inhibit collagenase-3 (MMP-13) with IC50s in the range of .apprx.0.1-100 nM and are substantially free of collagenase-1 (MMP-1) inhibition at effective MMP-13 inhibiting concns. The ratio of the IC50 for MMP-1 inhibition to the IC50 for MMP-13 inhibition is typically in the range of .apprx.100-10,000. Although the methods of preparation are not claimed, 13 example prepns. are included and >100 specific compds. with mass spectral data are included.
IT 458560-22-0P, (αR)-1-[(2-Phenylethoxy)carbonyl]-α-[[[4-(4-chlorophenyl)phenyl]sulfonyl]amino]-4-piperidine-N-hydroxyacetamide
458560-25-3P, (αR)-1-[[2-(1-Naphthyl)ethoxy]carbonyl]-α-[[[4-(4-chlorophenyl)phenyl]sulfonyl]amino]-4-piperidine-N-hydroxyacetamide

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
2001:713311 Document No. 135:272884
[(Biphenylsulfonyl)amino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors. Pikul, Stanislaw; Ohler, Norman Eugene; Almstead, Neil Gregory; Laughlin, Steven Karl; Natchus, Michael George; De, Biswanath (Procter + Gamble Company, USA). PCT Int. Appl. WO 2001070691 A1 20010927, 56 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US8931 20010302. PRIORITY: US 2000-PV191302 20000321.
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = OH, NHOH; R2 = H, alkyl, alkenyl, etc.; R3 = alkyl, alkenyl, haloalkyl, etc.; A = (un)substituted monocyclic heterocycloalkyl; or A is bonded tp R2 to form (un)substituted monocyclic heterocycloalkyl; n = 0-4; E = a bond, alkylene, CO, etc.; X = H, alkyl, aryl, etc.; G = S, O, N:N, etc.; G1 = S, O, N:N, etc.; M = CH, N; Z = OMe, halo, etc.] such as compound II which are inhibitors of metalloproteases and which are effective in treating conditions characterized by excess activity of these enzymes such as arthritis and cancer, were prepared and formulated (no data for intermediates and final compds.). Also described are pharmaceutical compns. comprising these compds., and methods of treating metalloprotease-related maladies using the compds. I or the pharmaceutical compns.
IT 362524-37-6P
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
([(biphenylsulfonyl)amino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors)
RN 362524-37-6 HCAPLUS
CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]methylamino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

2001:713310 Document No. 135:257165 [(Hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors. Pikul, Stanislaw; Ohler, Norman Eugene; Almstead, Neil Gregory; Laughlin, Steven Karl; Natchus, Michael George; De, Biswanath (Procter + Gamble Company, USA). PCT Int. Appl. WO 2001070690 A1 20010927, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US8783 20010320. PRIORITY: US 2000-PV191303 20000321.

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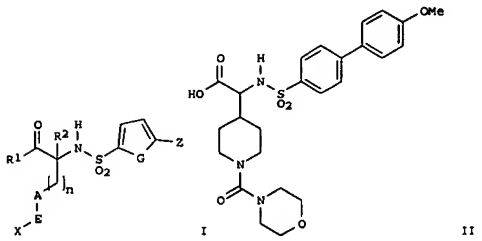


I II

AB The title compds. [I; R1 = OH, NHOH; R2 = H, alkyl, haloalkyl, etc.; A = (un)substituted monocyclic heterocycloalkyl; A can be connected to R2 to form (un)substituted monocyclic heterocycloalkyl; n = 0-4; E = a bond, alkyl, CO, etc.; X = H, alkyl, aryl, etc.; G = S, O, N:N, etc.; Z = cycloalkyl, heterocycloalkyl, etc.] such as II which are inhibitors of metalloproteases and which are effective in treating conditions characterized by excess activity of these enzymes such as arthritis and cancer, were claimed and formulated (prepns. were given but no data for intermediates and final compds.).

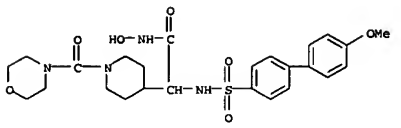
IT 362525-92-6P

RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
([(hetero)arylsulfonylamino]-[1-substituted-piperidin-4-yl]-acetic acids as metalloprotease inhibitors)

RN 362525-92-6 HCAPLUS

CN 4-Piperidineacetamide, N-hydroxy-α-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-1-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

2001:474054 Document No. 135:210918 Potent and Selective Carboxylic Acid-Based Inhibitors of Matrix Metalloproteinases. Pikul, Stanislaw; Ohler, Norman E.; Ciszewski, Greg; Laufersweiler, Michael C.; Almstead, Neil G.; De, Biswanath; Natchus, Michael G.; Hsieh, Lily C.; Janusz, Michael J.; Peng, Sean X.; Branch, Todd M.; King, Selane L.; Taiwo, Yetunde O.; Mieling, Glen E. (Health Care Research Center, Procter and Gamble Pharmaceuticals, Mason, OH, 45040, USA). Journal of Medicinal Chemistry, 44(16), 2499-2502 (English) 2001. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

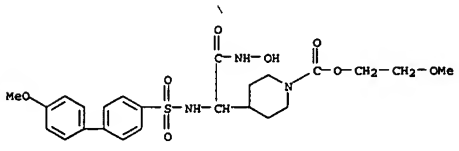
AB A novel series of carboxylic acids containing a substituted piperidine were synthesized and tested for inhibition of selected matrix metalloproteinases. Multiple analogs prepared based on this novel design were found to inhibit the target MMPs (MMP-2, -3, -8, -9, and -13) with unprecedented, low nanomolar potency while, at the same time, sparing MMP-1 and MMP-7. Solubility and plasma protein binding of several members of this new series of carboxylic acids were also investigated.

IT 357413-54-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carboxylic acid-based inhibitors of matrix metalloproteinases)

RN 357413-54-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-(hydroxyamino)-1-[[(4'-methoxy[1,1'-biphenyl]-4-yl)sulfonyl]amino]-2-oxoethyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



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